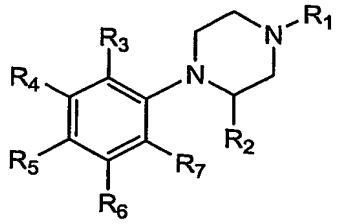
CLAIMS

What we claimed is:

1. A compound of Formula (I):



(I)

wherein:

R_1 is H or C_{1-8} alkyl;

R_2 is C_{2-4} alkenyl, C_{1-4} alkyl or C_{1-4} haloalkyl; and

R_3, R_4, R_5, R_6 and R_7 are each independently H, C_{1-4} acyl, C_{1-4} acyloxy, C_{1-4} acylthioxy, C_{2-4} alkenyl, C_{1-4} alkoxy, C_{1-4} alkyl, C_{1-4} alkylcarboxamido, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfonyl, C_{1-4} alkylthio, amino, C_{1-4} alkylamino, carbo-C_{1-4}-alkoxy, carboxamide, cyano, C_{2-6} dialkylamino, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} haloalkylthio, halogen, hydroxyl, phenyl, and thiol; or

a pharmaceutically acceptable salt, hydrate and solvate thereof;

provided that the compound is not 1-(4-Chloro-phenyl)-2-methyl-piperazine; 1-(3,5-Difluoro-phenyl)-2-methyl-piperazine; 2-Methyl-1-(2-methylsulfanyl-phenyl)-piperazine; 4-Amino-3-fluoro-2-(2-methyl-piperazin-1-yl)-5-nitro-benzonitrile; 2-Methyl-1-phenyl-piperazine; 4-(2-Isopropyl-piperazin-1-yl)-2-trifluoromethyl-benzonitrile; 4-(2-Ethyl-piperazin-1-yl)-2-trifluoromethyl-benzonitrile; 4-(2-Methyl-piperazin-1-yl)-2-trifluoromethyl-benzonitrile; 1-(3-Chloro-phenyl)-2-methyl-piperazine; 2-Methyl-1-m-tolyl-piperazine; 4-(2-Methyl-piperazin-1-yl)-benzamide; 1-(2-Fluoro-phenyl)-2-methyl-piperazine; 4-(2-Methyl-piperazin-1-yl)-phenol; 1-(3-Methoxy-phenyl)-2-methyl-piperazine; 2-Methyl-1-(3-trifluoromethyl-phenyl)-piperazine; 1-(4-Methoxy-phenyl)-2-methyl-piperazine; 2-Methyl-1-p-tolyl-piperazine; 2,4-Dimethyl-1-phenyl-piperazine; 4-Chloro-5-(4-ethyl-2-methyl-piperazin-1-yl)-benzene-1,2-diamine; 4-Chloro-5-(4-ethyl-2-methyl-piperazin-1-yl)-2-nitro-phenylamine; 5-(4-Ethyl-2-methyl-piperazin-1-yl)-2-nitro-4-

trifluoromethyl-phenylamine; and 5-(4-Ethyl-2-methyl-piperazin-1-yl)-4-methyl-2-nitro-phenylamine.

2. The compound according to claim 1 wherein R_1 is H.

3. The compound according to claim 1 wherein R_1 is C_{1-8} alkyl.

4. The compound according to claim 3 wherein R_1 is methyl.

5. The compound according to claim 3 wherein R_1 is ethyl.

6. The compound according to claim 3 wherein R_1 is *n*-propyl.

7. The compound according to claim 3 wherein R_1 is *iso*-propyl.

8. The compound according to claim 3 wherein R_1 is *n*-butyl.

9. The compound according to any one of claims 1 to 8 wherein R_2 is C_{2-4} alkenyl.

10. The compound according to any one of claims 1 to 8 wherein R_2 is a vinyl group.

11. The compound according to any one of claims 1 to 8 wherein R_2 is C_{1-4} alkyl.

12. The compound according to any one of claims 1 to 8 wherein R_2 is methyl.

13. The compound according to any one of claims 1 to 8 wherein R_2 is ethyl.

14. The compound according to any one of claims 1 to 8 wherein R_2 is *n*-propyl.

15. The compound according to any one of claims 1 to 8 wherein R_2 is C_{1-4} haloalkyl.

16. The compound according to any one of claims 1 to 8 wherein R_2 is $-CF_3$.

17. The compound according to any one of claims 1 to 16 wherein R_3, R_4, R_5, R_6 and R_7 are each independently selected from the group consisting of H, C_{1-4} alkoxy, C_{1-4} alkyl, cyano, C_{1-4} haloalkoxy, C_{1-4} haloalkyl and halogen.

18. The compound according to claim 17 wherein R_3, R_4, R_5, R_6 and R_7 are each independently selected from the group consisting of H, C_{1-4} alkyl, cyano, C_{1-4} haloalkoxy, C_{1-4} haloalkyl and halogen.

19. The compound according to claim 17 wherein R_3, R_4, R_5, R_6 and R_7 are each independently selected from the group consisting of H, C_{1-4} haloalkoxy, C_{1-4} haloalkyl and halogen.

20. The compound according to claim 17 wherein R_3, R_4, R_5, R_6 and R_7 are each independently selected from the group consisting of H, CH_3, CH_2CH_3, $CH(CH_3)_2$, cyano, OCF_3, CF_3, F, Cl and Br.

21. The compound according to claim 17 wherein R_3, R_4, R_5, R_6 and R_7 are each independently selected from the group consisting of H, CF_3, F, Cl and Br.

22. The compound according to any one of claims 1 to 16 wherein R_3 is H or F.

23. The compound according to any one of claims 1 to 16 and 22 wherein R_4 is selected from the group consisting of H, cyano, F, Cl and Br.

24. The compound according to any one of claims 1 to 16, 22 and 23 wherein R_5 is selected from the group consisting of H, CH_3, $CH(CH_3)_2$, OCF_3, CF_3, F, Cl and Br.

25. The compound according to any one of claims 1 to 16 and 22 to 24 wherein R_6 is selected from the group consisting of H, F, Cl and Br.

26. The compound according to any one of claims 1 to 16 and 22 to 25 wherein R_7 is selected from the group consisting of H, CH_3, F, Cl and Br.

27. The compound of claim 1 selected from the group consisting of:

1-(2,3-Difluoro-phenyl)-2-ethyl-piperazine;

1-(3-Fluoro-phenyl)-2-ethyl-piperazine;
1-(4-Fluoro-phenyl)-2-ethyl-piperazine;
(R)-1-(3-Chloro-4-fluoro-phenyl)-2-methyl-piperazine;
(S)-1-(3-Chloro-4-fluoro-phenyl)-2-methyl-piperazine;
(R)-1-(3,4-Difluoro-phenyl)-2-methyl-piperazine;
(S)-1-(3,4-Difluoro-phenyl)-2-methyl-piperazine;
(R)-1-(3-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;
(S)-1-(3-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;
(R)-1-(4-Fluoro-phenyl)-2-methyl-piperazine;
(S)-1-(4-Fluoro-phenyl)-2-methyl-piperazine;
(R)-1-(3,4-Dichloro-phenyl)-2-methyl-piperazine;
(S)-1-(3,4-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(3-Chloro-4-methyl-phenyl)-2-methyl-piperazine;
(S)-1-(3-Chloro-4-methyl-phenyl)-2-methyl-piperazine;
(R)-1-(3,4-Difluoro-phenyl)-2-methyl-piperazine;
(S)-1-(3,4-Difluoro-phenyl)-2-methyl-piperazine;
(R)-1-(3,5-Dichloro-phenyl)-2-methyl-piperazine;
(S)-1-(3,5-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(2,5-Difluoro-phenyl)-2-methyl-piperazine;
(S)-1-(2,5-Difluoro-phenyl)-2-methyl-piperazine;
(R)-1-(4-Chloro-3-fluoro-phenyl)-2-methyl-piperazine;
(S)-1-(4-Chloro-3-fluoro-phenyl)-2-methyl-piperazine;
(R)-1-(3-Chloro-2-methyl-phenyl)-2-methyl-piperazine;
(S)-1-(3-Chloro-2-methyl-phenyl)-2-methyl-piperazine;
(R)-1-(5-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;
(S)-1-(5-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;
(R)-1-(5-Chloro-2-methyl-phenyl)-2-methyl-piperazine;
(S)-1-(5-Chloro-2-methyl-phenyl)-2-methyl-piperazine;
1-(3-Chloro-4-fluoro-phenyl)-2-ethyl-piperazine;
1-(3-Chloro-phenyl)-2-ethyl-piperazine;
1-(4-Chloro-phenyl)-2-ethyl-piperazine;
1-(3,4-Difluoro-phenyl)-2-ethyl-piperazine and
(R)-1-(5-Chloro-2-fluoro-phenyl)-2-ethyl-piperazine;
or a pharmaceutically acceptable salt, hydrate and solvate thereof.

28. The compound of claim 1 selected from the group consisting of:

(R)-1-(2-Fluoro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;

(S)-1-(2-Fluoro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;

(R)-1-(4-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(4-Chloro-2-fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(3-Chloro-5-fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(3-Chloro-5-fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(3-Fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(3-Fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(2-Fluoro-4-trifluoromethyl-phenyl)-2-methyl-piperazine;

(S)-1-(2-Fluoro-4-trifluoromethyl-phenyl)-2-methyl-piperazine;

(R)-1-(2-Chloro-3-fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(2-Chloro-3-fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(2-Fluoro-5-methyl-phenyl)-2-methyl-piperazine;

(S)-1-(2-Fluoro-5-methyl-phenyl)-2-methyl-piperazine;

(R)-1-(4-Fluoro-biphenyl-3-yl)-2-methyl-piperazine;

(S)-1-(4-Fluoro-biphenyl-3-yl)-2-methyl-piperazine;

(R)-1-(2,5-Difluoro-4-methoxy-phenyl)-2-methyl-piperazine;

(S)-1-(2,5-Difluoro-4-methoxy-phenyl)-2-methyl-piperazine;

(R)-1-(2-Fluoro-4-methyl-phenyl)-2-methyl-piperazine;

(S)-1-(2-Fluoro-4-methyl-phenyl)-2-methyl-piperazine;

(R)-1-(2-Chloro-5-fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(2-Chloro-5-fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(2-Chloro-4-fluoro-phenyl)-2-methyl-piperazine;

(S)-1-(2-Chloro-4-fluoro-phenyl)-2-methyl-piperazine;

(R)-1-(2,4-Dichloro-phenyl)-2-methyl-piperazine;

(S)-1-(2,4-Dichloro-phenyl)-2-methyl-piperazine;

(R)-1-(2,5-Dichloro-phenyl)-2-methyl-piperazine;

(S)-1-(2,5-Dichloro-phenyl)-2-methyl-piperazine;

(R)-1-(3,5-Bis-trifluoromethyl-phenyl)-2-methyl-piperazine;

(S)-1-(3,5-Bis-trifluoromethyl-phenyl)-2-methyl-piperazine;

(R)-1-(4-Fluoro-2-methyl-phenyl)-2-methyl-piperazine;

(S)-1-(4-Fluoro-2-methyl-phenyl)-2-methyl-piperazine;

(R)-1-(2-Chloro-phenyl)-2-methyl-piperazine;
(S)-1-(2-Chloro-phenyl)-2-methyl-piperazine;
(R)-1-(2,3-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(2,3-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(2,6-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(2,6-Dichloro-phenyl)-2-methyl-piperazine;
(R)-1-(2-Chloro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;
(R)-1-(2-Chloro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;
(R)-2-Methyl-1-(4-trifluoromethyl-phenyl)-piperazine;
(S)-2-Methyl-1-(4-trifluoromethyl-phenyl)-piperazine;
(R)-1-(2-Fluoro-3-trifluoromethyl-phenyl)-2-methyl-piperazine;
(S)-1-(2-Fluoro-3-trifluoromethyl-phenyl)-2-methyl-piperazine;
(R)-1-(3-Fluoro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;
(R)-1-(3-Fluoro-5-trifluoromethyl-phenyl)-2-methyl-piperazine;
(R)-1-(4-Chloro-3-trifluoromethyl-phenyl)-2-methyl-piperazine;
(S)-1-(4-Chloro-3-trifluoromethyl-phenyl)-2-methyl-piperazine; and
(R)-2,4-Dimethyl-1-(3-trifluoromethyl-phenyl)-piperazine;
or a pharmaceutically acceptable salt, hydrate and solvate thereof.

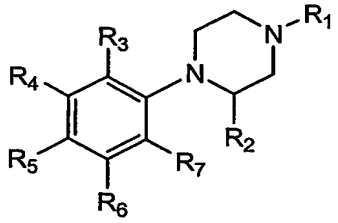
29. The compound of claim 1 selected from the group consisting of:
1-(2-Bromo-phenyl)-2-vinyl-piperazine;
1-(4-Chloro-phenyl)-2-vinyl-piperazine;
1-(3-Fluoro-phenyl)-2-vinyl-piperazine;
1-(3-Chloro-4-fluoro-phenyl)-2-vinyl-piperazine;
1-(3-Chloro-phenyl)-2-vinyl-piperazine;
1-(3-Bromo-phenyl)-2-vinyl-piperazine;
1-(3,5-Dichloro-phenyl)-2-vinyl-piperazine;
1-(2-Bromo-4-isopropyl-phenyl)-2-vinyl-piperazine;
1-(2-Bromo-4-trifluoromethoxy-phenyl)-2-vinyl-piperazine;
1-(2-Bromo-4-trifluoromethyl-phenyl)-2-vinyl-piperazine;
3-(2-Vinyl-piperazin-1-yl)-benzonitrile;
1-(3,5-Difluoro-phenyl)-2-vinyl-piperazine;
1-*o*-Tolyl-2-vinyl-piperazine and
1-(2,3-Difluoro-phenyl)-2-vinyl-piperazine;

or a pharmaceutically acceptable salt, hydrate and solvate thereof.

30. The compound according to any one of claims 1 to 26 wherein said compound is an *R* enantiomer.

31. The compound according to any one of claim 1 to 26 wherein said compound is an *S* enantiomer.

32. A pharmaceutical composition comprising a pharmaceutical acceptable carrier in combination with at least one compound according to Formula (I):



(I)

wherein:

R_1 is H or C_{1-8} alkyl;

R_2 is C_{2-4} alkenyl, C_{1-4} alkyl or C_{1-4} haloalkyl; and

R_3, R_4, R_5, R_6 and R_7 are each independently H, C_{1-4} acyl, C_{1-4} acyloxy, C_{1-4} acylthioxy, C_{2-4} alkenyl, C_{1-4} alkoxy, C_{1-4} alkyl, C_{1-4} alkylcarboxamido, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfonyl, C_{1-4} alkylthio, amino, C_{1-4} alkylamino, carbo-C_{1-4}-alkoxy, carboxamide, cyano, C_{2-6} dialkylamino, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} haloalkylthio, halogen, hydroxyl, phenyl, and thiol; or

a pharmaceutically acceptable salt, hydrate and solvate thereof.

33. A method of modulating a $5HT_{2C}$ receptor comprising contacting said receptor with a therapeutically effective amount of a compound as in any one of claims 1 to 31.

34. The method according to claim 33 wherein said compound is an agonist of said receptor.

35. A method of prophylaxis or treatment of disorders of the central nervous system; damage to the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes

insipidus or sleep apnea comprising administering to an individual in need of such prophylaxis or treatment a therapeutically effective amount of a compound according to any one of claims 1 to 31 or a pharmaceutical composition according to claim 32.

36. The method according to claim 35 wherein the disorders of the central nervous system are selected the group consisting of depression, atypical depression, bipolar disorders, anxiety disorders, obsessive-compulsive disorders, social phobias or panic states, sleep disorders, sexual dysfunction, psychoses, schizophrenia, migraine and other conditions associated with cephalic pain or other pain, raised intracranial pressure, epilepsy, personality disorders, Alzheimer disease, age-related behavioral disorders, behavioral disorders associated with dementia, organic mental disorders, mental disorders in childhood, aggressivity, age-related memory disorders, chronic fatigue syndrome, drug and alcohol addiction, obesity, bulimia, anorexia nervosa and premenstrual tension.

37. The method according to claim 36 wherein the disorder of the central nervous system is obesity.

38. The method according to claim 36 wherein the disorder of the central nervous system is Alzheimer disease.

39. The method according to claim 36 wherein the sexual dysfunction is Male erectile dysfunction.

40. The method according to claim 35 wherein the damage to the central nervous system is by trauma, stroke, neurodegenerative diseases, toxic CNS diseases or infective CNS diseases.

41. The method according to claim 35 wherein the damage to the central nervous system is by encephalitis or meningitis.

42. The method according to claim 35 wherein the cardiovascular disorder is thrombosis.

43. The method according to claim 35 wherein the gastrointestinal disorder is dysfunction of gastrointestinal motility.

44. The method according to one of claims 35 to 43 wherein said individual is a mammal.

45. The method according to claim 44 wherein said mammal is a human.

46. A method of decreasing food intake of an individual comprising administering to said individual a therapeutically effective amount of a compound according to any one of claims 1 to 31 or a pharmaceutical composition according to claim 32.

47. The method according to one of claims 46 wherein said individual is a mammal.

48. The method according to claim 47 wherein said mammal is a human.

49. A method of inducing satiety in an individual comprising administering to said individual a therapeutically effective amount of a compound according to any one of claims 1 to 31 or a pharmaceutical composition according to claim 32.

50. The method according to one of claims 49 wherein said individual is a mammal.

51. The method according to claim 50 wherein said mammal is a human.

52. A method of controlling weight gain of an individual comprising administering to said individual suffering from weight control a therapeutically effective amount of a compound according to any one of claims 1 to 31 or a pharmaceutical composition according to claim 32.

53. The method according to one of claims 52 wherein said individual is a mammal.

54. The method according to claim 53 wherein said mammal is a human.

55. The method according to any one of claims 48, 51 and 54 wherein said human has a body mass index of about 18.5 to about 45.

56. The method according to any one of claims 48, 51 and 54 wherein said human has a body mass index of about 25 to about 45.

57. The method according to any one of claims 48, 51 and 54 wherein said human has a body mass index of about 30 to about 45.

58. The method according to any one of claims 48, 51 and 54 wherein said human has a body mass index of about 35 to about 45.

59. A method of producing a pharmaceutical composition comprising admixing at least one compound according to any one of claims 1 to 31 and a pharmaceutically acceptable carrier.

60. A compound according to any one of claims 1 to 31 for use in a method of treatment of the human or animal body by therapy.

61. A compound according to any one of claims 1 to 31 for use in a method of prophylaxis or treatment of disorders of the central nervous system; damage to the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus or sleep apnea of the human or animal body by therapy.

62. The use according to claim 61 wherein the disorders of the central nervous system are selected the group consisting of depression, atypical depression, bipolar disorders, anxiety disorders, obsessive-compulsive disorders, social phobias or panic states, sleep disorders, sexual dysfunction, psychoses, schizophrenia, migraine and other conditions associated with cephalic pain or other pain, raised intracranial pressure, epilepsy, personality disorders, Alzheimer disease, age-related behavioral disorders, behavioral disorders associated with dementia, organic mental disorders, mental disorders in childhood, aggressivity, age-related memory disorders, chronic fatigue syndrome, drug and alcohol addiction, obesity, bulimia, anorexia nervosa and premenstrual tension.

63. The use according to claim 62 wherein the disorder of the central nervous system is obesity.

64. The use according to claim 62 wherein the disorder of the central nervous system is Alzheimer disease.

65. The use according to claim 62 wherein the sexual dysfunction is Male erectile dysfunction.

66. The use according to claim 61 wherein the damage to the central nervous system is by trauma, stroke, neurodegenerative diseases, toxic CNS diseases or infective CNS diseases.

67. The use according to claim 61 wherein the damage to the central nervous system is by encephalitis or meningitis.

68. The use according to claim 61 wherein the cardiovascular disorder is thrombosis.

69. The use according to claim 61 wherein the gastrointestinal disorder is dysfunction of gastrointestinal motility.

70. A use of a compound according to any one of claims 1 to 31 for the manufacture of a medicament for use in the treatment or prophylaxis of disorders of the central nervous system; damage to the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus or sleep apnea.

71. The use according to claim 70 wherein the disorders of the central nervous system are selected the group consisting of depression, atypical depression, bipolar disorders, anxiety disorders, obsessive-compulsive disorders, social phobias or panic states, sleep disorders, sexual dysfunction, psychoses, schizophrenia, migraine and other conditions associated with cephalic pain or other pain, raised intracranial pressure, epilepsy, personality disorders, Alzheimer disease, age-related behavioral disorders, behavioral disorders associated with dementia, organic mental disorders, mental disorders in childhood, aggressivity, age-related memory disorders, chronic fatigue syndrome, drug and alcohol addiction, obesity, bulimia, anorexia nervosa and premenstrual tension.

72. The use according to claim 71 wherein the disorder of the central nervous system is obesity.

73. The method according to claim 71 wherein the disorder of the central nervous system is Alzheimer disease.

74. The method according to claim 71 wherein the sexual dysfunction is Male erectile dysfunction.

75. The use according to claim 70 wherein the damage to the central nervous system is by trauma, stroke, neurodegenerative diseases, toxic CNS diseases or infective CNS diseases.

76. The use according to claim 70 wherein the damage to the central nervous system is by encephalitis or meningitis.

77. The use according to claim 70 wherein the cardiovascular disorder is thrombosis.

78. The use according to claim 70 wherein the gastrointestinal disorder is dysfunction of gastrointestinal motility.